G4:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8],[*9]

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 49:CLASS 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:Atom 57:Atom 58:Atom 59:Atom 60:Atom 61:Atom 62:Atom 63:Atom 66:CLASS 69:CLASS 70:CLASS 71:CLASS 72:CLASS 75:CLASS 76:Atom 77:Atom 78:Atom 79:Atom 81:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 18:21:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 841 TO ITERATE

100.0% PROCESSED 841 ITERATIONS

43 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 15081 TO 18559

PROJECTED ANSWERS: 467 TO 1253

L2 43 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 18:22:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 16157 TO ITERATE

100.0% PROCESSED 16157 ITERATIONS 898 ANSWERS

SEARCH TIME: 00.00.01

L3 898 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 172.10 172.31

FILE 'CAPLUS' ENTERED AT 18:22:06 ON 08 FEB 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

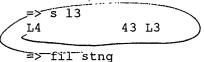
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FILE COVERS 1907 - 8 Feb 2007 VOL 146 ISS 7 FILE LAST UPDATED: 7 Feb 2007 (20070207/ED)

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http://www.cas.org/infopolicy.html



COCH IN H C DOLLAR

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.47
172.78

FILE 'STNGUIDE' ENTERED AT 18:22:24 ON 08 FEB 2007
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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 2, 2007 (20070202/UP).

=> fil reg
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 1.02 173.80

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 FEB 2007 HIGHEST RN 919834-45-0 DICTIONARY FILE UPDATES: 7 FEB 2007 HIGHEST RN 919834-45-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when

Search for WITH

conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Program Files\Stnexp\Queries\10522227b.str

R3 defined R3-pheugl on thiough

chain nodes : 75 97 98 99 101 102 103 104 105 49 66 67 69 81 ring nodes : 4 10 11 12 13 14 15 16 17 18 19 20 21 23 30 24 25 26 27 28 29 31 32 33 34 35 36 37 38 39 40 50 51 52 53 55 56 57 58 59 60 61 62 63 70 71 72 76 77 78 79 83 92 93 87 88 89 90 91 chain bonds : 5-97 10-98 12-99 21-49 25-102 29-103 32-104 16-101 39-105 50-69 52-66 53-67 62-106 71-75 ring bonds : 1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 11-12 11-15 12-13 13-14 24-25 14-15 16-17 16-20 17-18 18-19 19-20 21-22 21-25 22-23 23-24 26-27 32-33 37-38 26-30 27-28 28-29 29-30 31-32 31 - 3533-34 34 - 3536-37 36-40 38-39 39-40 50-51 50-55 51-52 52-53 53-54 54 - 5554-70 55-72 56-60 56-57 56-76 57-58 57-79 58-59 58-61 59-60 59-63 61-62 62-63 70-71 71-72 76-77 77-78 84-85 85-86 86-87 88-89 88-93 89-90 90-91 91-92 78-79 83-84 83-87 92-93

```
exact/norm bonds :
1-2 \quad 1-5 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-97 \quad 6-7 \quad 6-10 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-98 \quad 11-12 \quad 11-15
12-13 12-99 13-14 14-15 16-17 16-20 16-101 17-18 18-19 19-20 21-22 21-25
21-49 22-23 23-24 24-25 25-102 26-27 26-30 27-28 28-29 29-30 29-103
31-32 31-35 32-33 32-104 33-34 34-35 36-37 36-40 37-38 38-39 39-40
39-105 50-69 51-81 52-66 53-67 54-70 55-72 56-60 56-57 56-76 57-58 57-79
58-59 58-61 59-60 59-63 61-62 62-63 62-106 70-71 71-72 71-75 76-77
77-78 78-79 83-84 83-87 84-85 85-86 86-87
normalized bonds :
50-51 50-55 51-52 52-53 53-54 54-55 88-89 88-93 89-90 90-91 91-92 92-93
isolated ring systems :
containing 50:
G1:H,CH3
G2:S,N
G3:X,H,CH3,N
G4:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8],[*9]
G5: [*10], [*11]
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom
29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom
38:Atom 39:Atom 40:Atom 49:CLASS 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom
55:Atom 56:Atom 57:Atom 58:Atom 59:Atom 60:Atom 61:Atom 62:Atom 63:Atom
66:CLASS 67:CLASS 69:CLASS 70:CLASS 71:CLASS 72:CLASS 75:CLASS 76:Atom
77:Atom 78:Atom 79:Atom 81:CLASS 83:Atom 84:Atom 85:Atom 86:Atom 87:Atom
88:Atom 89:Atom 90:Atom 91:Atom 92:Atom 93:Atom 97:CLASS 98:CLASS 99:CLASS
101:CLASS 102:CLASS 103:CLASS 104:CLASS 105:CLASS 106:CLASS
L5
       STRUCTURE UPLOADED
=> d
L5 HAS NO ANSWERS
L5
               STR
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.
=> d his
   (FILE 'HOME' ENTERED AT 18:21:08 ON 08 FEB 2007)
     FILE 'REGISTRY' ENTERED AT 18:21:37 ON 08 FEB 2007
               STRUCTURE UPLOADED
L1
L2
            43 S L1
          898 S L1 FULL
```

L5

FILE 'CAPLUS' ENTERED AT 18:22:06 ON 08 FEB 2007 L4 43 S L3

FILE 'STNGUIDE' ENTERED AT 18:22:24 ON 08 FEB 2007

FILE 'REGISTRY' ENTERED AT 18:32:38 ON 08 FEB 2007
____STRUCTURE UPLOADED

=> s 15 full sub=13

FULL SUBSET SEARCH INITIATED 18:33:12 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 898 TO ITERATE

100.0% PROCESSED 898 ITERATIONS

596 ANSWERS

SEARCH TIME: 00.00.01

L6 596 SEA SUB=L3 SSS FUL L5

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

41.10 214.90

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=> s 16

L7

7 L6

=> d ibib abs hitstr tot

L7 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2006:349551 CAPLUS COCUMENT NUMBER: 145:62826 Synthesis of imidazole based

AUTHOR(S):

CORPORATE SOURCE:

145:62826
Synthesis of imidazole based p38 MAP
(mitogen-activated protein) kinase inhibitors under
buffered conditions
Magnus, Nicholas A.; Diseroad, William D.; Nevill, C.
Richard, Jr.; Wepsiec, James P.
Chemical Product Research and Development Division,
Eli Lilly and Company, Indianapolis, IN, 46285, USA
Organic Process Research & Development (2006), 10(3),
556-560
CODEN. ORDREY, 1002 SOURCE:

GODEN: OPROFK; ISSN: 1083-6160 American Chemical Society Journal English PUBLI SHER:

DOCUMENT TYPE: LANGUAGE:

Chemical developed to give access to multigram quantities of imidazole

Chemical developed to give access to multigram quantities of imidazole 54
and several related analogs, e.g., I (Rl = H or F) for Eli Lilly's p38
MAPK program targeting therapies to address inflammation was described. The mols. of interest had an iso-Pr sulfonyl group present on the 2-aminobenzimidazole heterocycle that was found to be labile when heated in polar solvents and/or exposed to high or low pH. Due to this instability issue, the syntheses of the target mols. required optimizing Sonogashira reaction conditions, employing a buffered oxidative method to produce a-diones, developing buffered reaction conditions to generate imidazoles, and developing final recrystm. conditions. 660433-80-78 990653-92-6P
RE: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(preparation of (benzimidazolyl)imidazoles for use as p38 MAP kinase inhibitors via Sonogashira coupling of (aryl)acetylenes with (icdo)benzimidazoles followed by oxidation with NGMO4 and heterocyclization with (aryl)aldehydes and NH4OAc)

GH-Benzimidazol-2-amine, 6-[2-(2,6-difluorophenyl)-5-phenyl-1H-imidazol-4-yl]-1-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

(Continued)

ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

L7

660433-13-6 CAPLUS
1H-Benzimidazol-2-amine, 1-[(1-methylethyl)sulfonyl]-6-[5-phenyl-2-[2-(trifluoromethyl)phenyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

660433-30-7 CAPLUS

IH-Benzimidazol-2-amine, 6-[2-(2-chloro-6-fluorophenyl)-5-phenyl-1H-imidazol-4-yl]-1-[(1-methylethyl)sulfonyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

CRN 660433-29-4 CMF C25 H21 C1 F N5 O2 S

Karen Cheng

L7 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

890653-92-6 CAPLUS

Ethanol, compd. with 6-[2-(2,6-difluorophenyl)-5-phenyl-lH-imidazol-4-yl)1-((1-methylethyl)sulfonyl]-lH-benzimidazol-2-amine (1:1) (9CI) (CA INDEX

CM 1

CRN 660433-80-7 CMF C25 H21 F2 N5 O2 S

CM 2

H3C-СH2-ОН

660433-04-5P 660433-13-6P 660433-30-7P 660433-34-1P 849064-43-3P 890653-93-7P 890653-97-1P 890653-98-2P

890653-98-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (benzimidazolyl)imidazoles for use as p38 MAP kinase
inhibitors via Sonogashira coupling of (aryl)acetylenes with
(iodo)benzimidazoles followed by oxidation with KNn04 and
heterocyclization with (aryl)aldehydes and NH4OAc)
660433-04-5 CAPLUS
IH-Benzimidazol-2-amine, 6-(2-cyclohexyl-5-phenyl-1H-imidazol-4-yl)-1-[(1-

ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

[] s−сн3

660433-34-1 CAPLUS

1H-Benzimidazol-2-amine, 6-[2-[2-fluoro-6-(trifluoromethyl)phenyl]-5-phenyl-1H-imidazol-4-yl]-1-[(1-methylethyl)sulfonyl]-,
monomethanesulfonate (9CI) (CA INDEX NAME)

1

CRN 660433-33-0 CMF C26 H21 F4 N5 O2 S

2

CRN 75-75-2 CMF C H4 03 S

849064-43-3 CAPLUS IH-Benzimidazol-2-amine, 6-[5-(2,4-difluorophenyl)-2-(1,1-dimethylethyl)-1-IH-imidazol-4-yl]-1-[(1-methylethyl)sulfonyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 849064-42-2 CMF C23 H25 F2 N5 O2 S

L7 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ou s

CRN 75-75-2

RN 890653-93-7 CAPLUS
CN IH-Benzimidazol-2-amine, 6-[2-(2,6-difluorophenyl)-5-phenyl-1H-imidazol-4-yl]-1-[(1-methylethyl)sulfonyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 660433-80-7 CMF C25 H21 F2 N5 O2 S

. CM 2

L7 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM

CRN 75-75-2 CMF C H4 O3

0 || || || HO – S – CH

RN 890653-97-1 CAPLUS
CN | H-Benzimidazol-2-amine, 6-{2-(1-methylethyl)-5-phenyl-1H-imidazol-4-yl}-1[(1-methylethyl)sulfonyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM

CRN 660433-95-4 CMF C22 H25 N5 O2 S

CH 2

CRN 75-75-2

Karen Cheng

L7 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) CRN 75-75-2 CMF C H4 03 S

0 || || || || || || 0

RN 890653-94-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-[2-(4-chlorophenyl)-5-phenyl-1H-imidazol-4-yl]1-[(1-methylethyl)sulfonyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 660432-82-6 CMF C25 H22 C1 N5 O2 S

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 890653-96-0 CAPLUS

CM IH-Benzimidazol-2-amine, 6-[2-(2-chloro-6-fluorophenyl)-5-(2,4-difluorophenyl)-IH-imidazol-6-yl]-1-[(1-methylethyl)sulfonyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 890653-95-9 CMF C25 H19 C1 F3 N5 O2 S

L7 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 890653-98-2 CAPLUS
CN IH-Benzimidazol-2-amine, 6-[2-(1,1-dimethylethyl)-5-phenyl-1H-imidazol-4-yl]-1-[(1-methylethyl)sulfonyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 660433-06-7 CMF C23 H27 N5 O2 S

CM 2

CRN 75-75-2 CMF C H4 03 S

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 7
ACCESSION NUMBER:
DOCUMENT NUMBER:
13:266919
INVENTOR(S):

INVENTOR(S):

PATENT ASSIGNEE(S):
SOURCE:
PATENT ASSIGNEE(S):

POUR ASSIGNEE SI Eli Lilly and Company, USA
POUR COURT TYPE:
DOCUMENT TYPE:
D

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S): . MARPAT 143:266919

Benzimidazole compds. and their analogs I [wherein W = certain N-containing -

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

863606-52-4 CAPLUS
1H-Benzimidazol-2-amine, 6-(1-methyl-4-phenyl-1H-imidazol-5-yl)-1-(2-methylpropyl)- (9C1) (CA INDEX NAME)

863606-88-6 CAPLUS
1H-Benzimidazol-2-amine, 6-[3-(2,4-difluorophenyl)-1H-pyrazol-4-yl]-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

863606-90-0 CAPLUS 1H-Benzimidazol-2-amine, 6-{1-(2-fluorophenyl)-3-phenyl-1H-pyrazol-4-yl}-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
five-membered heteroary!: Y = N, CH, CNH2 or CMer R = alkyl, Ph, benzyl,
etc., and pharmaceutically acceptable salts thereof], such as II, were
prepd. as inhibitors of kinases, esp. p38 kinases. Exemplified compds. I
showed inhibition for p38 kinase and suppression of TNF-a both in
vitro and in vivo with ICSO values of < 5 mH, < 100 nM and < 100
mg/kg, resp. Other biol. activities were also evaluated. Therefore, I
and their pharmaceutical compns. are potentially useful for treating a
disease or condition capable of being improved or prevented by inhibition
of p38 kinase, such as cancer.
863606-33-1P 863606-38-6P 863606-43-3P
863606-32-1P 863606-89-6P 863606-90-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); THO (Therapeutic use); BIOL (Biological study); PREP
(Preparation); THO (Therapeutic use); BIOL (Biological study); PREP
(Preparation); THO (Therapeutic benzimidazole compds. as p38 kinase inhibitors
for the treatment of cancer)
863606-33-1 CAPLUS

H-Benzimidazol-2-amine, 6-[2-(4-fluorophemyl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazol-3-yl]-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

863606-38-6 CAPLUS IH-Benzimidazol-2-amine, 1-(2-methylpropyl)-6-(5-phenyl-2H-1,2,3-triazol-4-yl)- (9C1) (CA INDEX NAME)

863606-43-3 CAPLUS

1H-Benzimidazol-2-amine, 6-[5-(2,4-difluorophenyl)-2-methyl-1H-imidazol-4-yl]-1-(1,2-dimethylpropyl)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

```
%63605-50-99 %63605-51-0P %63605-52-1P %63605-55-2P %63605-55-8 %63605-55-6 %63605-55-4P %63605-55-8 %63605-55-6 %63605-56-7P %63605-50-9P %63605-60-1P %63605-60-1P %63605-60-1P %63605-60-1P %63605-60-1P %63605-60-1P %63605-60-1P %63605-60-1P %63605-60-1P %63605-70-1P %63605-70-1P %63605-80-7P %63605-80-80 %63605-80-80 %63605-80-80 %63605-80-80 %63605-80-80 %63605-80-80 %63605-80-80 %63605-80-80-80 %63605-80-80-80 %63605-80-80-80 %63605-80-80-80 %63605-90-80-80 %63605-90-80-80 %63605-90-80-80 %63605-90-80-80 %63605-90-80-80 %63605-90-80-80 %63605-90-80-80 %63606-90-80-80 %63606-00-2P %63606-00-2P %63606-00-2P %63606-00-80 %63606-00-80 %63606-00-80 %63606-13-7P %63606-13-1P %63606-11-60-80 %63606-13-7P %63606-11-1P %63606-11-80-1P %63606-11-1P %63606-11-80-1P %63606-11-1P %63606-11-80-1P %63606-11-1P %63606-11-80-1P %63606-11-1P %63606-11-80-1P %63606-11-1P %63606-11-80-1P %63606-11-1P %636
```

L7 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 963605-51-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-[2-(2,6-difluorophenyl)-5-phenyl-1H-imidazol-4yl]-1-phenyl- 9CI) (CA INDEX NAME)

RN 863605-52-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-[2-(2,6-difluorophenyl)-5-phenyl-1H-imidazol-4-yl]-1-(1-ethylpropyl)- (9CI) (CA INDEX NAME)

RN 863605-53-2 CAPLUS
CN IH-Benzimidazol-2-amine, 6-(2,5-diphenyl-1H-imidazol-4-yl)-1-(1-methyl)-(95CI) (CA INDEX NAME)

$$\begin{array}{c|c} & i\text{-Pr} \\ & \downarrow \\ N & \downarrow \\ N & \downarrow \\ & N \end{array}$$

RN 863605-54-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(cyclopropylmethyl)-6-[2-(2,6-difluorophenyl)-5-

L7 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 863605-58-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-[2-(2,6-difluorophenyl)-5-phenyl-1H-imidazol-4yl]-1-methyl- (OKI) (CA INDEX NAME)

RN 863605-59-8 CAPLUS
CN IH-Benzimidazol-Z-amine, 6-[2-(2,6-difluorophenyl)-5-phenyl-1H-imidazol-4-yl]-1e-thyl- (9C1) (CA INDEX NAME)

RN 863605-60-1 CAPLUS
CN HH-Benzimidazol-2-amine, 1-butyl-6-[2-(2,6-difluorophenyl)-5-phenyl-1H-imidazol-4-yl]- (9C1) (CA INDEX NAME)

RN 863605-61-2 CAPLUS
CN IH-Benzimidazol-2-amine, 6-[2-(2,6-difluorophenyl)-5-phenyl-1H-imidazol-4yl]-1-(2,2-dimethylpropyl)- (9CI) (CA INDEX NAME)

Karen Cheng

L7 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) phenyl-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 863605-55-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-[2-(2,6-difluorophenyl)-5-phenyl-1H-imidazol-4-yl)-1-(2-methylpropyl)- (9Cl) (CA INDEX NAME)

RN 863605-56-5 CAPLUS
CN IH-Benzimidazol-2-amine, 6-[2-(2,6-difluorophenyl)-5-phenyl-1H-imidazol-4yl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 863605-57-6 CAPLUS
CN IH-Benzimidazol-2-amine, 6-[2-(2,6-difluorophenyl)-5-phenyl-1H-imidazol-4-yl]-1-propyl- (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 863605-62-3 CAPLUS
CN HH-Benzimidazol-2-amine, 6-[2-(2,6-difluotophenyl)-5-phenyl-1H-imidazol-4-yl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 863605-63-4 CAPLUS
CN HI-Benzindazol-2-amine, 1-(cyclohexylmethyl)-6-[2-(2,6-difluorophenyl)-5-phenyl-Hi-imidazol-4-yl]- (9C1) (CA INDEX NAME)

RN 863605-64-5 CAPLUS
CN HH-Benzimidazol-2-amine, 6-[2-(1,1-dimethylethyl)-5-phenyl-1H-imidazol-4yl]-1-(2-methylptropyl)- (SCI) (CA INDEX NAME)

RN 863605-65-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-[2-(1-methylethyl)-5-phenyl-1H-imidazol-4-yl]-1[2-methylpropyl)- (9CI) (CA INDEX NAME)

1.7 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

- CH3

863607-28-7 CAPLUS
1H-Benzimidazole, 6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-methyl-1-(2-methyl-proyl)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CRN 863607-27-6 CMF C21 H21 F N4

CM 2

CRN 75-75-2 CMF C H4 O3 S

863607-29-8 CAPLUS HH-Benzimidazol-2-amine, 6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-1-(2-methylpropyl)-(9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) methylpropyl)-1H-benzimidazol-2-yl}- (9CI) (CA INDEX NAME)

5

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ΙT

863605-41-8P 863606-58-0P 863606-59-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazole compds. as p38 kinase inhibitors for the treatment of cancer)
863605-41-8 CAPLUS
1H-Benzimidazol-2-amine, 6-[3-{2,4-difluorophenyl}-1-(triphenylmethyl)-1H-pyrazol-4-yl]-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

863606-58-0 CAPLUS Acetamide, N-[6-(1-methyl-4-phenyl-1H-imidazol-5-yl)-1-(2-methylpropyl)-1H-benzimidazol-2-yl) (SCI) (CA INDEX NAME)

863606-59-1 CAPLUS Acetamide, N-[6-(2-bromo-1-methyl-4-phenyl-1H-imidazol-5-yl)-1-(2-

L7 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
142:348138

Design of Potent and Selective 2-AminobenzimidazoleBased p38a MAP Kinase Inhibitors with Excellent
in Vivo Efficacy

AUTHOR(S):

AUTHOR(S):

AUTHOR(S):

AUTHOR(S):

Design of Potent and Selective 2-AminobenzimidazoleBasetiz: Sanchez, Concepcion: del Prado, Miriam:
Cabrejas, Luisa M. Martin: Pleite, Sehila:
Blanco-Urgoiti, Jaime: Lorite, Maria Jose: Nevill, C.
Richard, Jr.; Bonjouklian, Rosanne: York, Jeremy:
Vieth, Michal: Wang, Yong: Magnus, Nicholas: Campbell,
Robert M.: Anderson, Bryan D.: McCann, Denis J.:
Giera, Deborah D.: Leè, Paul A.: Schultz, Richard M.:
Li, Li C.; Johnson, Lea M.: Wolos, Jeffrey A.
Lilly S.A., Eli Lilly and Co.: Alcobendas, Madrid,
28108, Spain

JOURGE:

JOURNAL Of Medicinal Chemistry (2005), 48(7),
2270-2273

CODES: JMCMAR: ISSN: 0022-2623

PUBLISHER:
American Chemical Society

DOCUMENT TYPE:
Journal
LANGUAGE:
English
OTHER SOURCE(S):

CASREACT 142:348138

AB We report the design and discovery of a 2-aminobenzimidazole-based series
of potent and highly selective p38a inhibitors. The lead compound had
low-nanomolar activity in both ATP competitive enzyme binding and
inhibition of TMFs release in macrophages. Compound showed excellent
pharmacokinetics properties and oral activity in the rat collagen induced
arthritis model compared with other p38 reference compds. A SAR strategy to
address CyP3AA liability is also described.

17 660432-75-7P 660432-76-9P 660433-89-0P
660433-81-P1 660431-94-3P 660434-06-0P
660433-81-P1 660431-94-3P 660434-06-0P
660433-81-P1 660431-95-90P
849064-46-6P
RL: PAC (Pharmacological activity); PXT (Pharmacokinetics); PRP
(Properties), SPN (Synthatic preparation); THU (Therapeutic use); BIOL
(Biological study); PREP (Preparation); USES (Uses)

(Mas Rinase inhibitors with excellent in vivo efficacry)

RN 660432-75-7 CAPIUS

ON H-Benzimidazol-2-amine, 1-{(1-methylethyl) sulfonyl]-6-(5-phenyl-1Himidazol-4-yl)- (9CI) (CA INDEX NAME)

660432-77-9 CAPLUS
1H-Benzimidazol-2-amine, 6-[5-(4-fluorophenyl)-1H-imidazol-4-yl]-1-[(1-methylethyl)sulfonyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
1H-Benzimidazol-2-amine, 6-[5-(2,4-difluorophenyl)-2-(1,1-dimethylethyl)1H-imidazol-4-yl]-1-[(1,1-dimethylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004014900 A1 20040219 WO 2003-US19890 20030731

W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, NI, NO, NZ, OM, PC, PH, PL, PT, BO, RU, SC, SD, SE, SG, SK, SK, LS, Y, TJ, TM, TM, TT, TZ, UA, UG, US, UZ, VC, VN, VU, ZA, ZM, ZV, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DX, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, ML, PT, NO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003256297 A1 20050720 EP 1554272 B1 20050721

EP 1554272 B1 20061025

R: AT, BE, CH, DE, DX, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, AT 343572 T 20051115 A1 20051208 W 200307311 PS 200207311

RTY APPLN. INFO::

WO 2003-1816789 P 20021025

WO 2003-181678 A 20020025

WO 2003-181678 A 20020030731

WO 2003-181678 A 20020030731

PR 2003-181678 A 20020030731

WO 2003-181678 A 20020030731

WO 2003-181678 A 20020030731 AT 343572 US 2005272791 PRIORITY APPLN. INFO.:

Journal 18112

Preparation of benzimidazoles and benzothiazoles as inhibitors of p-38 map kinase for treating metastasis or rheumatoid arthritis

Bonjouklian, Rosanner De Diego Gomez, Jose Eugenio: De Dios, Alfonso: Hamdouchi, Chafiq Hamdouchi; Li, Tiechao: Lopez De Uralde Garmendia, Beatriz: Vieth, Michal; York, Jeremy Schulenburg: Dally, Robert Dean; Del Prado Catalina, Miriam Filadelfas Jaramillo, Carlos: Martin Cabrejas, Luisa Maria; Montero Salgado, Carlos: Pleite, Sheila: Sanchez-Martinez, Concepcion: Shepherd, Timothy Alan; Wikel, James Howard

Eli Lilly and Company, USA

PCT Int. Appl., 127 pp.

CODEN: PIXXO2

Patent

English

APPLICATION NO.

WO 2003-US19890

OTHER SOURCE(S): MARPAT 140:199326

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:143142 CAPLUS DOCUMENT NUMBER: 140:199326

KIND DATE

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

PATENT NO

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The present invention provides benzimidazoles and benzothiazoles (shown as I; W - imidazolyl, oxazolyl, pycazolyl, oxopyrazolinyl, thiazolyl, 1,2,3-triazolyl, or imidazo(2,1-b]benzothiazolyl; X = NR4, S; R5 - halo, H, NR9R10; adml. details are given in the claims; e.g. II] as p-38 map kinase inhibitors. The disclosed compds. inhibit p-38 kinase and are useful in the treatment of metastasis or rheumatoid arthritis. All exemplified I inhibit the p38 kinase enzyme with an IC50 of at least 5 pM. Four exemplified I were tested and found to suppress TNF-a in vitro with an IC50 (100 nM; three of these suppressed TNF-a in vivo in mice with an IC50 (100 nM; three of these suppressed TNF-a in vivo in mice with an IC50 (100 nM; three of these suppressed TNF-a in vivo in mice with an IC50 (100 nM; three of these suppressed TNF-a in vivo in mice with an IC50 (100 nM; three of these suppressed TNF-a in vivo in mice with an IC50 (100 nM; three of these suppressed TNF-a in 150 nM; the mice with a loss of the mice with a loss of the mice with a large fluid the TMEDSD - 10 mg/kg. II caused 548, 734, and 95% inhibition of IL showed excellent dose-dependent activities against p38 NAPK in tumors harvested 2.5 h after dosing, seen as a dose-dependent inhibition of MAPKAP-X2 phosphorylation in PSIS tumors in vivo. At all doses of II in a rat collagen induced arthritis efficacy model, there was a significant coduction in ankle diameter with a maximum reduction of 46% at 30 mg/kg inisolone

reduction in ankle diameter with a maximum reduction of 46% at 30 mg/kg; prednisolone reduced the inflammation to pre-arthritic levels. Although the methods of preparation are not claimed, preparative procedures and/or characterization data are given for 119 intermediates and 253 examples of 1. For example, 1-isopropylsulfonyl-2-amino-6-[2-(thien-2-yl)-5-(phenyl)imidazol-4-yl)benzimidazole methanesulfonate was prepared in 97N yield by cyclizing thiophene-2-carboxaldehyde with 1-isopropylsulfonyl-2-amino-6-[a-(tret-butyldimethylsilyl)oxyl-a-(phenyl)acetyl)benzimidazole in HOAc in the presence of Cu(OAc)2 and NH4OAc.

1 660432-27-9P. 1-isopropylsulfonyl-2-amino-6-[1-benzyl-5-(2.4-difluorophenyl)-2-(methyl)imidazol-4-yl)benzimidazole 660432-69-9P, 1-isopropylsulfonyl-2-amino-6-[2-(thien-2-yl)-5-(phenyl)imidazol-4-yl]benzimidazole 660432-69-62-(5-nitrothien-2-yl)-5-(phenyl)imidazol-4-yl]benzimidazole 660432-69-68-88, 1-isopropylsulfonyl-2-amino-6-[2-[(-tert-butoxycarbonyl)piperidin-4-yl]-5-(4-fluorophenyl)imidazol-4-yl]benzimidazole 660432-90-67, 1-isopropylsulfonyl-2-amino-6-[2-[(-tert-butoxycarbonyl)piperidin-4-yl]-5-(4-fluorophenyl)imidazol-4-yl]benzimidazole 660432-91-7P, 1-isopropylsulfonyl-2-amino-6-[2-[(-tert-butoxycarbonyl)piperidin-4-yl]-5-(4-fluorophenyl)imidazol-4-yl]benzimidazole 660432-91-7P, 1-isopropylsulfonyl-2-amino-6-[2-[(-tert-butoxycarbonyl)piperidin-4-yl]-5-(4-fluorophenyl)imidazol-4-yl]benzimidazole 660432-91-7P, 1-isopropylsulfonyl-2-amino-6-[2-[(-tert-butoxycarbonyl)piperidin-4-yl]-5-(4-fluorophenyl)imidazol-4-yl]benzimidazole 660432-91-7P, 1-isopropylsulfonyl-2-amino-6-[2-[(-tert-butoxycarbonyl)piperidin-4-yl]-5-(4-fluorophenyl)imidazol-4-yl]benzimidazole 660432-91-7P, 1-isopropylsulfonyl-2-amino-6-[2-[(-tert-butoxycarbonyl)piperidin-4-yl]-5-(4-fluorophenyl)imidazol-4-yl]benzimidazole 660432-91-7P, 1-isopropylsulfonyl-2-amino-6-[2-[(-tert-butoxycarbonyl)piperidin-4-yl]-5-(4-fluorophenyl)imidazole 660432-91-7P, 1-isopropylsulfonyl-2-amino-6-[2-[(-tert-butoxycarbonyl)piperidin-4-yl]-5-(4-fluo

Karen Cheng

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) (phenyl) imidazol-4-yl]benzimidazole 660433-80-7P, l-1sopropylsulfonyl-2-amino-6-[2-(2,6-difluorophenyl)-5-(phenyl) imidazol-4-yl]benzimidazole 660433-82-9P, l-Toyolopentylsulfonyl-2-amino-6-[2-(2,6-difluorophenyl)-5-(phenyl) imidazol-4-yl]benzimidazole 660433-82-9P, l-1sopropylsulfonyl-2-amino-6-[2-isopropyl-5-(phenyl) imidazol-4-yl]benzimidazole 660433-95-4P, l-1sopropylsulfonyl-2-amino-6-[2-isopropyl-5-(phenyl) imidazol-4-yl]benzimidazole 660433-35-5P 660434-36-6P 660434-58-P, l-1sopropylsulfonyl-2-amino-6-[1-cyclohexyl-4-(phenyl) imidazol-5-yl]benzimidazole 660434-36-6P 660434-59-P, l-1sopropylsulfonyl-2-amino-6-[1-(4-Nc tert-butoxycarbonyl) aminol cyclohex yl]-4-(phenyl) imidazol-5-yl]benzimidazole 660434-39-3P, l-1sopropylsulfonyl-2-amino-6-[1-(1,4-Nc text-butoxycarbonyl) aminol cyclohex yl]-4-(phenyl) imidazol-5-yl]benzimidazole 660434-73-1P, l-1sopropylsulfonyl-2-amino-6-[1-(1,4-Nc textybenzyl)-4-(phenyl) imidazol-5-yl]benzimidazole 660434-73-1P, l-1sopropylsulfonyl-2-amino-6-[1-(4-methxybenzyl)-4-(phenyl) imidazol-5-yl]benzimidazole 660435-03-0P, l-1sopropylsulfonyl-2-amino-6-[1-(p-nitrophenyl)-4-(phenyl) imidazol-5-yl]benzimidazole 660435-03-0P, l-1sopropylsulfonyl-2-amino-6-[2-(piperidin-4-yl)-2-(pinyl) imidazol-5-yl]benzimidazole 660435-09-P, l-1sopropylsulfonyl-2-amino-6-[1-(p-nitrophenyl) imidazol-4-yl]benzimidazole 660435-09-P, l-1sopropylsulfonyl-2-amino-6-[1-(p-nitrophenyl) imidazol-5-yl]benzimidazole 660435-30-P, l-1sopropylsulfonyl-2-amino-6-[2-(piperidin-4-yl)-2-mindazole 660435-30-P, l-1sopropylsulfonyl-2-amino-6-[1-(p-nitrophenyl)-4-(phenyl) imidazol-5-yl]benzimidazole 660435-30-P, l-1sopropylsulfonyl-2-amino-6-[1-(p-nitrophenyl)-4-(2-fluorophenyl) imidazol-5-yl]benzimidazole 660435-30-P, l-1sopropylsulfonyl-2-amino-6-[2-(piperidin-4-yl)-2-knylmanidazole 660435-30-P, l-1sopropylsulfonyl-2-amino-6-[1-(p-nitrophenyl)-4-(2-fluorophenyl) imidazol-5-yl]benzimidazole 660435-30-P, l-1sopropylsulfonyl-2-amino-6-[1-(p-nitrophenyl-2-amino-6-

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 660432-69-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(1-methylethyl)sulfonyl]-6-[5-phenyl-2-(2-thienyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 660432-74-6 CAPLUS
CN IH-Benzindazol-2-amine, 1-((1-methylethyl)sulfonyl)-6-[2-(5-nitro-2-thienyl)-5-phenyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} 0 \\ 0 \\ 0 \\ \end{array}$$

RN 660432-84-8 CAPLUS
CN IH-Benzimidazol-2-amine, 1-[(1-methylethyl)-sulfonyl]-6-[2-(4-nitrophenyl)-5-phenyl-1H-inidazol-4-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 660433-82-9 CAPLUS
CN IH-Benzimidazol-2-amine, 1-(cyclopentylsulfonyl)-6-[2-(2,6-difluorophenyl)-5-phenyl-1H-indiazol-4-yl]- (9CI) (CA INDEX NAME)

RN 660433-93-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-[5-(4-fluorophenyl)-2-(1-methylethyl)-1Himidazol-4-yl]-1-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 660433-95-4 CAPLUS
CN | H-Benzimidazol-2-amine, 6-[2-(1-methylethyl)-5-phenyl-lH-imidazol-4-yl]-1[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 660432-90-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-{4-[2-amino-1-[{1-methylethyl}sulfonyl}-1H-benzimidazol-6-yl]-5-(4-fluorophenyl)-1H-imidazol-2-yl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 660432-91-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-{4-{2-amino-1-{1-methylethyl)sulfonyl}-1H-benzimidazol-6-yl}-5-phenyl-1H-imidazol-2-yl}-, phenylmethyl ester (9CI)
(CA INDEX NAME)

RN 660433-80-7 CAPLUS
CN HH-Benzimidazol-2-amine, 6-[2-(2,6-difluorophenyl)-5-phenyl-lH-imidazol-4-yl]-1-(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 660434-35-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-[2-amino-1-[[1-methylethyl]sulfonyl]-1H-benzimidazol-6-yl]-4-phenyl-1H-imidazol-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 660434-36-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-[2-amino-1-[[1-methylethyl]sulfonyl]-lH-benzimidazol-6-yl]-4-(4-fluorophenyl)-lH-imidazol-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

660435-93-8 CAPLUS 2-Benzothiazolamine, 6-(5-phenyl-lH-imidazol-4-yl)- (9CI) (CA INDEX NAME)

660435-96-1 CAPLUS
2-Benzothiazolamine, 6-(1-cyclohexyl-4-phenyl-1H-imidazol-5-yl)-N-ethyl-(9CI) (CA INDEX NAME)

660435-97-2 CAPLUS
1H-Benzimidazol-2-amine, 1-[(l-methylethyl)sulfonyl]-6-(5-phenyl-1H-1,2,3-triazol-4-yl)- (9Cl) (CA INDEX NAME)

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) Double bond geometry as shown.

660866-17-1 CAPLUS
IH-Benzimidazol-2-mmine, 6-[2-(fluorophenyl)-5-phenyl-lH-imidazol-4-yl]-1[(1-methylethyl)sulfonyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

CRN 660866-16-0 CMF C25 H22 F N5 O2 S CCI IDS

D1 - F

CM 2

CRN 75-75-2 CMF C H4 03 S

660433-35-2, 1-Isopropylsulfonyl-2-amino-6-[2-[2-fluoro-4-nitrophenyl)-5-(phenyl)imidazol-4-yl]benzimidazole 660434-12-8, 1-Isopropylsulfonyl-2-amino-6-[1-[[2-{trlmethylsilyl}ethoxy]methyl]-2-formyl-5-(phenyl)imidazol-4-yl]benzimidazole 660435-62-1, 1-Isopropylsulfonyl-2-amino-6-[1-cyclopropyl-2-nitro-4-(2-

Karen Cheng

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

660435-98-3 CAPLUS lH-Benzimidazol-2-amine, 1-[(1-methylethyl)sulfonyl]-6-(3-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX WAME)

660435-99-4 CAPLUS BO0435-99-4 CAPUS
HH-Benzimidazol-2-amine, 6-[2-(2,6-difluorophenyl)-5-phenyl-1H-imidazol-4-yl)-1-[(1-methylethyl)sulfonyl]-, (22)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 660433-80-7 CMF C25 H21 F2 N5 O2 S

СН 2

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
fluorophenyl)imidazol-5-yl]benzimidazole
RL: RCT (Reactant): RACT (Reactant or reagent)
(prepn. of benzimidazoles and benzothiazoles as inhibitors of p-38 map
kinase for treating metastasis or rheumatoid arthritis)
660433-35-2 CAPLUS
HH-Benzimidazol-2-amine, 6-[2-(2-fluoro-4-nitrophenyl)-5-phenyl-1Himidazol-4-yl]-1-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

660434-12-8 CAPLUS IH-Benzimidazol-2-amine, 6-[2-formyl-5-phenyl-1-{{2-(trimethylsiyl) ethoxy]methyl}-1H-imidazol-4-yl}-1-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

660435-62-1 CAPLUS
1H-Benzimidazol-2-amine, 6-[1-cyclopropyl-4-(2-fluorophenyl)-2-nitro-1Himidazol-5-yl]-1-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

660432-22-4P, 1-Isopropylsulfonyl-2-amino-6-[1-{{2-(trimethylsilyl)ethoxylmethyl)-2-formyl-4-(phenyl)imidazol-5-yl)benzimidazole 660432-25-7P, 1-Isopropylsulfonyl-2-amino-6-[1-benzyl-5-{3,5-difluorophenyl)-2-{2,4-difluorophenyl}imidazol-4-

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) yl]benzimidazole 660434-14-0P, 1-1sopropylsulfonyl-2-amino-6-[1-[[2-(trimethylsilyl)ethoxy]methyl]-2-[(piperidin-1-yl)methyl]-5-(phenyl)imidazol-4-yl]benzimidazole 660434-20-8P, 1-tsopropylsulfonyl-2-amino-6-[2-[[N-[2-(piperidin-1-yl)methyl]-5-(phenyl)]-1-[[2-(trimethylsilyl)ethoxy]methyl]-imidazol-4-yl]benzimidazole 660434-23-1P, 1-tsopropylsulfonyl-2-amino-6-[1-[[2-(trimethyl)1-2-[2-(ethoxycarbonyl)ethen-2-yl]-5-(phenyl)imidazol-4-yl]benzimidazole 660434-24-2P, 1-tsopropylsulfonyl-2-amino-6-[1-[[2-(trimethylsilyl)ethoxy]methyl]-2-[1-(ethoxycarbonyl)ethyl]-5-(phenyl)imidazol-4-yl]benzimidazole 660434-24-2P, 1-tsopropylsulfonyl-2-amino-6-[1-[[2-(trimethylsilyl)ethoxy]methyl]-2-[1-(ethoxycarbonyl)ethyl]-5-(phenyl)imidazol-4-yl]benzimidazole 660435-44-9P, 1-tsopropylsulfonyl-2-chloro-6-[1-(4-methoxybenzyl)-4-(phenyl)imidazol-5-yl]benzimidazole RL: RCT (Reactant); SPN (Synthetic preparation); PREF (Preparation); RACT (Reactant or respent) RI: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)

(prepn. of benzimidazoles and benzothiazoles as inhibitors of p-38 map kinase for treating metastasis or rheumatoid arthritis)

660432-22-4 CAPLUS

1H-Benzimidazol-2-amine, 6-[2-formyl-4-phenyl-1-[2-(trimethyl=1iyl)ethowy]methyl]-1H-imidazol-5-yl]-1[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

660432-25-7 CAPLUS

IH-Benzimidazol-2-amine, 6-[2-(2,4-difluorophenyl)-5-(3,5-difluorophenyl)1-(phenylmethyl)-1H-imidazol-4-yl]-1-[(1-methylethyl)sulfonyl]- (9CI) (CA
INDEX NAME)

660434-14-0 CAPLUS

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

660435-44-9 CAPLUS
1H-Benzimidazole, 2-chloro-6-[1-[(4-methoxyphenyl)methyl]-4-phenyl-1H-imidazol-5-yl]-1-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) lH-Benzimidazol-2-amine, l-[(1-methylethyl) sulfonyl]-6-[5-phenyl-2-(1-piperidinylmethyl)-1-[2-(trimethylsilyl)ethoxy]methyl]-1H-imidazol-4-yl]-(SCI) (CA INDEX NAME)

660434-23-1 CAPLUS
IH-Imidazole-2-acetic acid, 4-[2-amino-1-[{1-methylethyl}sulfonyl}-1H-benzimidazol-6-yl]-a-methylene-5-phenyl-1-[{2-(trimethylsilyl)ethoxy]methyl}-, ethyl ester (9CI) (CA INDEX NAME)

600434-43-2 CAPUS HI-Imidazole-2-acetic acid, 4-[2-amino-1-[(1-methylethyl)sulfonyl]-1H-benzimidazol-6-yl]-a-methyl-5-phenyl-1-[[2-(crimethylsilyl)ethoxylmethyl]-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN SSION NUMBER: 2003:396884 CAPLUS DOCUMENT NUMBER:

2003:396884 CAPLUS
138:401735
Preparation of phenyl substituted triazoles as selective inhibitors of ALKS kinase Gaster, Laramie Mary, Harling, John David; Heer, Jag Paul; Heightman, Thomas Daniel; Payne, Andrew Hele Smithkline Beecham Corporation, USA PCT Int. Appl., 29 pp. CODEN: PIXXO2
Patent
English
1 INVENTOR(S):

PATENT ASSIGNEE (5): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.

WO 2003042211 F F W: AE, AG, AL, AV CO, CR, CU, C.

GM, HR, HU, I LS, LT, LU, L PL, PT, RO, P TZ, UA, UG, L RW: GH, GM, KE, V KG, KZ, MD, FT, FR, GB, CC, CI, CM, CA 2467267 EP 1444232 R: AT, BE, SI, LT, BR 2002014160 HU 200402227 CN 1608065 JP 2005518352 US 200501938 NO 2004002244 PRIORITY APPLM. INFO.:

OTHER SOURCE(S): PATENT NO. KIND DATE APPLICATION NO. DATE 20021114 CA. CH, CN, GD, GE, GH, LC, LK, LR, NZ, OM, PH, TN, TR, TT, 20021114 20021114 SE, MC, PT, SK 20021114 20021114 20021114 20021114 20021114 20040513 20040528 A 20011115 W 20021114

OTHER SOURCE(S): MARPAT 138:401735

The title compds. [I: Rl = (un)substituted naphthyl, Ph, or Ph or pyridyl fused with an aromatic or non-aromatic cyclic ring of 5-7 members wherein

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) cyclic ring optionally contains up to three heteroatoms, independently selected from N, O and S; R2 and R3 = H, alkyl, alkoxy, etc.; two of X1, X2 and X3 = N and the other = NR4 (wherein R4 = H, alkyl, cycloalkyl, etc.)) which are inhibitors of the transforming growth factor, TGF-B signaling pathway, in particular, the phosphorylation of smad2 or smad3; the type I or activin-like kinase-5 (ALK-5) receptor, were prepd. Thus, heating a soln. of 6-(3-chlorophenylethynyl)-[1,2,4]triazolo[1,5-a]pyridine (prepn. given) and azidotrimethylsilane in DMF at 130°C for 19 h afforded 35% II. The compds. I generally show ALKS receptor sociulator activity having ICSO values in the range of 0.0001 to 10 µM. 520892-29-7P
RE: PAC (Pharmacological activity); SPN (Synthetio-preparation); THU (Therapeutic use).—BIOL (Biological study); PREP (Preparation); USES (USes)

(preparation of Ph substituted triazoles as selective inhibitors of ALKS Minase) 28992-29-7 CAPLUS 28992-29-7 CAPLUS 28992-29-7 CAPLUS 2901 (CA INDEX NAME)

need find GB application?

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) or hydrates of either (X = a nitrogenous fused aros. heterocyclic group, e.g., imidazo[1,2-a]pyridine, having (R4) na s a substituent wherein n = an integer of 0-3; R4 = H. halo, cyano, OR, NH2, C1-6 alkyl, halo-C1-6 alkyl, C1-6 alkyl, C1-6 alkyl, L1-6 alkyl, balo-C1-6 alkylsulfinyl, C1-6 alkylsulfonylamino, C1-6 alkylsulfinyl, N-mono, or N, N-di(C1-6 alkyl) amino, C1-6 alkylsulfinyl, S-mono, or N, N-di(C1-6 alkyl) amino, C1-6 alkylsulfinyl, C3-8 cross, C1-6 alkyl, C2-6 alkenyl, C3-6 alkenyl, C1-6 alkoy, etc., R1 = H. halo, H0, M02, cyano, Malo-C1-6 alkyl, Mydroxy or cyano-C1-6 alkyl, C2-6 alkenyl, etc., R2 = H, pyrazolyl R3 = H, halo, cyano, M2, C1-6 alkyl, L3-6 alkyl, L3-6 alkyl, C3-6 alk

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of (N-containing heterocyclyl) pyrazole as inhibitors of

vation of STAT6 protein and/or IL-4 and/or IL-13 signal transduction as preventives and/or remedies of diseases)
474695-63-1 CAPUUS
IH-Benzimidazole, 1-(4-fluorophenyl)-6-(3-(4-fluorophenyl)-1H-pyrazol-4-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2002:849613 CAPLUS DOCUMENT NUMBER: 137:353066 TITLE: Preparation

INVENTOR (S):

137:353066
Preparation of nitrogenous fused-ring compound having pyrazolyl group as substituents as inhibitors of activation of signal transduction and activation of transcription (STAT6) protein Yoshida, Ichiror Yoneda, Naokir Ohashi, Yoshiakir Suzuki, Shuichir Miyamoto, Mitsuakir Miyazaki, Futoshir Seshimo, Hidenorir Kamata, Junichir Takase, Yasutakar Shirato, Manabur Shimokubo, Daiyar Sakuma, Yoshinorir Yokohama, Hiromitsu Eisai Co., Ltd., Japan PCT Int. Appl., 1006 pp. CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Patent Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA'	TENT	NO.			KIN	Ď	DATE			APPL	ICAT	ION	NO.		D	ATE	
							-									-		
	WO 2002088107				A1		20021107		WO 2002-JP4156					20020425				
		W:	AE,	AG,	AL,	AM,	AT.	AU,	AZ,	BA.	BB.	BG.	BR.	BY.	BZ.	CA.	CH.	CN.
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM.	HR,	ΗU,	ID,	IL.	IN,	IS.	JP.	KE,	KG.	KP.	KR.	KZ.	LC.	LK.	LR.
					LU,													
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UΖ,	٧N,	YU,	ZA,	ZM,	ZW							
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	ŤZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT.	LU,	MC,	NL,	PT,	SE.	TR,
			BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	EP	1382	603			A1		2004	0121		EP 2	002~	7227	91		2	0020	425
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
	US	7074	801			B1		2006	0711		US 2	003~	4755	85		2	0031	023
0	RIT	Y APP	LN.	INFO	.:						JP 2	001-	1299	59		۹ 2	0010	426
											WO 2	002-	JP41	56	1	2	0020	425

MARPAT 137:353066

●2 HC1

OTHER SOURCE(S):

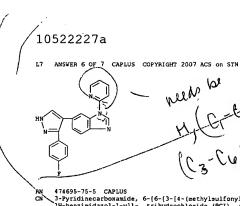
AB The 4-(N-containing fused aromatic heterocyclyl)pyrazoles (I) or salts thereof,

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

474695-71-1 CAPLUS 1H-Benzimidazol-2-amine, 1-(4-fluorophenyl)-6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

NH-CH2-Ph

474695-74-4 CAPLUS HH-Benzimidazole, 6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-1-(2-pyridinyl)-[GCI) (CA INDEX NAME)



rech of the form of the continued of the

474695-75-5 CAPLUS
3-Pyridinecarboxamide, 6-[6-[3-[4-(methylsulfonyl)phenyl]-1H-pyrazol;4-yl]1H-bgn;imidazol-1-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

474695-76-6 CAPLUS
3-Pyridinecarbonitrile, 6-[6-[3-[4-(methylsulfonyl)phenyl]-IH-pyrazol-4-yl]-IH-benzimidazol-1-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

474695-77-7 CAPLUS
3-Pyridinecarbonitrile, 6-[6-[3-[4-cyanophenyl]-1H-pyrazol-4-yl]-1H-beraimdazol-1-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

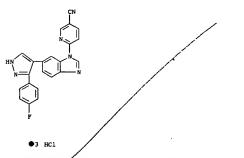
ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

474695-78-8 CAPLUS
3-Pyridinecarboxamide, 6-[6-[3-(4-cyanophenyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]-M,N-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474695-79-9 CAPLUS
3-Pyridinecarbonitrile, 6-[6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]-, trihydrochloride (9CI) (CA INDEX NAME)



474695-80-2/ CAPLUS
3-Pyridisecarbonitrile, 6-[6-[3-[3-cyanophenyl]-1H-pyrazol-4-yl]-1H-benzimidəzol-1-yl]-, trihydrochloride [9CI] (CA INDEX NAME)

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) ●3 HCl

●2 HC1

474701-68-3 CAPIUS
3-Pyridinecarboxamide, 6-[6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474701-69-4 CAPLUS
Morpholine, 4-[[6-[6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]-3-pyridinyl]carbonyl}-, dihydrochloride (9CI) (CA INDEX NAME)

474701-70-7 CAPLUS
Pytrolidine, 1-[[6-[6-[3-(4-fluorophenyl)-lH-pyrazol-4-yl]-lH-benzimidazol-1-yl]-3-pyridinyl]carbonyl]-3-methoxy-, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

●2 HC1

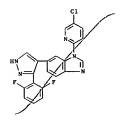
474701-71-8 CAPLUS
3-Pyridinecarboxamide, N-[2-(dimethylamino)ethyl]-6-[6-[3-(4-fluorophenyl)-H-pyrazol-4-yl]-H-benzimidazol-1-yl]-N-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

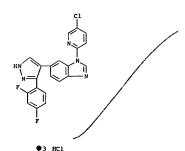
474701-72-9 CAPLUS lH-Benzimidazole, 1-(5-chloro-2-pyridinyl)-6-[3-(2,6-difluorophenyl)-lH-pyrazol-4-yl}-, trihydrochloride (9CI) (CA INDEX NAME)

(Continued)

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



474706-30-4 CAPLUS lH-Benzimidazole, 1-(5-chloro-2-pyridinyl)-6-(3-(2,4-difluorophenyl)-lH-pyrazol-4-yl}-, trihydrochloride (9CI) (CA INDEX NAME)

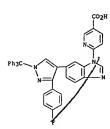


474706-31-5 CAPLUS 1H-Benzimidazole, 1-(5-chloro-2-pyridinyl)-6-[3-(2-fluorophenyl)-1H-pyrazol-4-yl}-, trihydrochloride (9CI) (CA INDEX NAME)

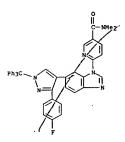
●3 HC1

IT 474701-59-2P 474701-60-5P 474701-61-6P
474701-62-7P 474701-63-8P 474706-26-8P
474706-27-9P 474701-00-4P 474701-65-4P
474709-99-4P 474710-00-4P 474711-75-6P
474711-70-1P 474711-73-4P 474711-75-6P
474711-76-7P 474711-73-4P 474711-75-6P
474711-79-0P 474711-82-5P 474711-89-9P
474711-79-0P 474711-82-5P 474711-84-7P
474712-65-7P 474712-66-8P
RC: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (N-containing heterocyclyl)pyrazole as inhibitors of activation
of STAT6 protein and/or IL-4 and/or IL-13 signal transduction as preventives and/or remedies of diseases)
RN 474701-59-2 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[6-[3-(4-fluorophenyl)-1-(triphenylmethyl)-IH-pyrazol-4-yl]-IH-benzimidazol-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)

- 1.7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
- 474701-60-5 CAPLUS
 3-Pyridinecarboxylic acid, 6-[6-[3-(4-fluorophenyl)-1-(triphenylmethyl)-1Hpyrazol-4-yl]-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)



474701-61-6 CAPLUS
3-Pyridinecarboxamide, 6-[6-[3-(4-fluorophenyl)-1-(triphenylmethyl)-1H-pyrazo1-4-yl]-1H-benzimidazo1-1-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



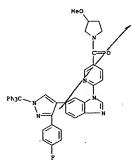
474701-62-7 CAPLUS Morpholine, 4-[(6-[6-[3-(4-fluoropheny1)-1-(triphenylmethy1)-1H-pyrazol-4-y1]-1H-benzimidazol-1-y1]-3-pyridiny1]carbony1]- (9CI) (CA INDEX NAME)

possible rask if cphz

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

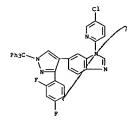
474701-63-8 CAPLUS
Pycrolidine, 1-[[6-[6-[3-(4-fluoropheny1)-1-(triphenylmethy1)-1H-pyrazol-4-y1]-1H-benzimidazol-1-y1]-3-pyridiny1]carbony1]-3-methoxy- (9CI) (CA INDEX NAME)

(Continued)

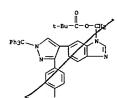


474706-26-8 CAPLUS
1H-Benzimidazole, 1-(5-chloro-2-pyridinyl)-6-[3-(2,4-difluorophenyl)-1-(triphenyl)methyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



474706-27-9 CAPLUS
1H-Benzimidazole, 1-(5-chloro-2-pyridinyl)-6-[3-(2-fluorophenyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



474709-99-4 CAPLUS 1H-Benzimidazole, 5-[3-(2,6-difluorophenyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (5C) (CA INDEX NAME)

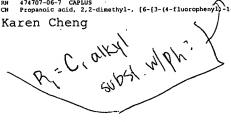
ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]methyl ester (9CI) (CA INDEX NAME)

474707-04-5 CAPLUS
1H-Benzimidazole, 5-[3-(4-fluorophenyl)-1-(triphenylmethyl)-1H-pyrazol-4-)
yl]- (9CI) (CA INDEX NAME)



Ki: C' organs, M=in 1 Ro= H. Shanny

474707-06-7 CAPLUS
Propanoic acid, 2,2-dimethyl-, [6-[3-(4-fluorophenyl)-1-(triphenylmethyl)-



474710-00-4 Proper 474710-00-4 CAPLUS
Propanoic acid, 2,2-dimethyl-, [6-{3-(2,6-difluorophenyl)-1-(crtiphenylmethyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]methyl ester (9CI) (CA INDEX NAME)

474711-65-4 CAPLUS
1H-Benzimidazole, 1-(4-fluorophenyl)-6-[3-(4-fluorophenyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474711-70-1 CAPLUS
CN 1H-Benzimidazole, 6-[3-(4-fluorophenyl)-1-(triphenylmethyl)-1H-pyrazol-4yl]-1-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 474711-73-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-[6-[3-[4-(methylthio)phenyl]-1-(triphenylmethyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474711-77-8 CAPLUS
CN 3-Pyridinecarbonitrile, 6-[6-[3-[4-(methylthio)phenyl]-1-(triphenylmethyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 474711-78-9 CAPLUS
CN 3-Pyridinecarbonitrile, 6-[6-[3-[4-(methylsulfonyl)phenyl]-1-(triphenylmethyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

(RN 474711-75-6 CAPLUS CN 3-Pyridinecarboxamide, 6-[6-[3-[4-(methylsulfinyl)phenyl]-1-(triphenylmethyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 474711-76-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-[6-[3-[4-(methylsulfonyl)phenyl]-1-(triphenylmethyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]-(9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

/ RN 474711-79-0 CAPLUS
CN 3-Pyridinecarbonitrile, 6-[6-[3-(4-cyanophenyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

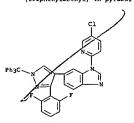
RN 474711-82-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-[6-[3-(4-cyanophenyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474711-84-7 CAPLUS
3-Pyridinecarbonitrile, 6-[6-[3-(4-fluorophenyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl}- (9CI) (CA INDEX NAME)

474712-65-7 CAPLUS 3-Pyridinecarboxanide, N-[2-[dimethylamino]ethyl]-6-[6-[3-(4-fluorophenyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]-N-methyl- (9CI) (CA INDEX NAME) ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

474712-66-8 CAPLUS
1H-Benzimidazole, 1-(5-chloro-2-pyridinyl)-6-[3-(2,6-difluorophenyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9C1) (CA INDEX NAME)



THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT: 34

L7 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1596:607249 CAPLUS DOCUMENT NUMBER: 125:247806 Preparation of pyrapole deriv Preparation of pyrazole derivatives as antiviral

INVENTOR(S):

Preparation of pyrazole derivatives as antiviral agents
Kai, Yasunobu; Tsuruoka, Akihiko; Yanagisawa, Manabu; Takeuchi, Hitoshir Taniguchi, Hiroyuki; Tanabe, Kazunori; Yamanaka, Motosuke
Eisai Co Ltd, Japan
Jpn. Kokai Tokkyo Koho, 38 pp.
CODEN: JNOXAF

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

Patent Japanese 1

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08183787	A	19960716	JP 1994-328129	19941228
JP 3734180	B2	20060111		
RIORITY APPLN. INFO.:			JP 1994-320129	19941228
THER SOURCE(S):	MARPAT	125:247806		

PRIORITY APPIN. INFO.:

OTHER SOURCE(S): MARPAT 125:247806

GI For diagram(s), see printed CA Issue.

AB The title compds. I (ring A = (un) substituted aromatic ring (which may have one or more hetero atoms), etc.; R1 = alkyl, etc.; R2 = H, etc.; R3 = H, halo, etc.] are prepared The title compound II (preparation given) in vitro showed

LCSO of <0.016 µg/mL against herpes simplex virus type 1.

II 181865-74-7P 181865-19-181865-76-9P

181865-74-0P 181865-90-7P 181865-94-1P

181866-01-3P 181866-00-8P 181866-07-9P

181866-02-28P 181866-30-8P 181866-70-6P

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181866-72-8P 181866-71-89 181866-79-9P

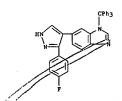
RL BAC (Biological activity or effector, except adverse); BSU (Biological study), unclassified) SFN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole derivs. as antiviral agents)

RN 181865-74-7 CAPIUS

NN 181865-74-7 CAPIUS

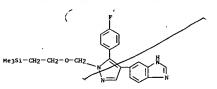
CN 1H-Benzimidazole, 6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-1-(triphenylmethyl) - (9CI) (CA INDEX NAME)



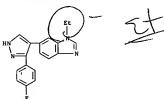
RN 181865-75-8 CAPLUS Karen Cheng

ANSVER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN 1H-Benzimidazole, 5-[3-(4-fluorophenyl)-1-{[2-(trimethylsilyl)ethoxy]methyl]-1H-pyrazol-4-yl]- (9CI) (Continued) ACÁ INDEX NAME) Me3Si-CH2-CH2-O-CH2 R(= '

l81865-76-9 CAPLUS lH-Benzimidazole, 5-{5-(4-fluorophenyl)-1-[(2-(trimethylsilyl)ethoxy]methyl]-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



181865-77-0 CAPLUS 1H-Benzimidazole, 1-ethyl-6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



181865-82-7 CAPLUS |H-Benzimidazole, 6-[3-(2,4-difluorophenyl)-|H-pyrazol-4-yl]-1-methyl-(9C1) (CA INDEX NAME)

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS ON STN

(Continued)

X=NR4, R4=H (merny) R3= prenyl subst. wl 2 halogens.

181865-84-9 CAPLUS
1H-Benzimidazole, 6-{3-(2-fluorophenyl)-lH-pyrazol-4-yl]-l-methyl- (9CI)
(CA INDEX NAME)

N81865-86-1 CAPLUS IM-Benzimidazole, 6-[3-(4-fluorophenyl)-IH-pyrazol-4-yl]-1-(1-methylethyl)-(9CI) (CA INDEX NAME)

181865-90-7 CAPLUS
1H-Benzimidazole, 6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-1-[[2-(phenylmethoxy)ethoxy]methyl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (CA INDEX NAME)

191866-07-9 CAPLUS 1H-Benzinidazole, 6-[3-(3,4-dimethoxyphenyl)-1H-pyrazol-4-yl]-1-methyl-[9C1] _(CA-INDEX NAME)

181866-22-8 CAPLUS

'H-Benzimidazole, 6-(3-(4-fluorophenyl)-1H-pyrazol-4-yl]-1-{2-(phenylmethoxy)ethyl}- (9CI) (CA INDEX NAME)

Ph-CH2-0-CH2-CH2

181866-30-8 CAPLUS 1H-Benzimidazole-1-ethanol, 6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

Karen Cheng

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

181865-94-1 CAPLUS Ethanol, 2-[[6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-1H-benzimidazol-1-yl]methoxy]- (9CI) (CA INDEX NAME)

но-сн2-сн2-о-сн2

181866-01-3 CAPLUS 1H-Benzimidazole, 1-methyl-6-[3-(4-nitrophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

181866-04-6 CAPLUS Benzenamine, 4-[4-(1-methyl-1H-benzimidazol-6-yl)-1H-pyrazol-3-yl]- (9CI)

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

181866-39-7 CAPLUS
1H-Benzimidazole, 6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-1-(2-propoxyethyl)- (9CI) (CA INDEX NAME)

n-Pro-CH2-CH2

181866-49-9 CAPLUS
1H-Benzimidazole, 1-[2-(ethylthio)ethyl]-6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)

Ets-CH2-CH2

181866-56-8 CAPLUS
1H-Benzimidazole-1-acetic acid, 6-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-,
ethyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

181866-70-6 CAPLUS 1H-Benzimidazole, 1-methyl-6-[3-(2-thienyl)-1H-pycazol-4-yl]- (9CI) (CA INDEX-NAME)

R3= thiend

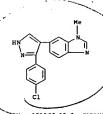
181866-72-8 CAPLUS 1H-Benzimidazole, 6-[3-(4-methoxyphenyl)-1H-pyrazol-4-yl]-1-methyl- (9CI) (CA INDEX NAME)

181866-74-0 CAPLUS 1H-Benzimidazole, 6-[3-(4-bromophenyl)-1H-pyrazol-4-yl]-1-methyl- (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

181866-78-4 CAPLUS 1H-Benzimidazole, 6-[3-(2-bromophenyl)-1H-pyrazol-4-yl]-1-methyl- (9CI) (CA INDEX NAME)

-181866=79-5 CAPLUS 1H-Benzimidazole, 6-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-1-methyl- (9CI) (CA INDEX NAME)

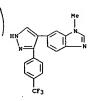


.181866-80-8 CAPLUS
Benzenamine, N.-dimethyl-4-[4-(1-methyl-1H-benzimidazol-6-yl)-1H-pycazol-3-yl)-(9CI) (CA INDEX NAME)

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

181867-98-1 CAPLUS

IH-Benzimidazole, l-methyl-6-[3-[4-(trifluoromethyl)phenyl]-IH-pyrazol-4yl]- (SCI) (CA INDEX NAME)

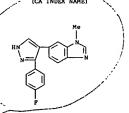


(1)

R3 = subst. WI Priguoromethyl

181865-80-5P 181866-94-4P 181866-95-5P

Nb:-RCT-(Reactant); SPN (Synthetic preparation); PREP_(Preparation); RACT
(Reactant of reagent)
(preparation of pyrazole derivs. as antiviral agents)
181865-80-5_ CAPLUS
181865-80-5_ CAPLUS
181867-80-5_ CAPLUS
181867-80-5_ CAPLUS
(CA INDEX NAME)
(CA INDEX NAME)



181866-94-4 CAPLUS Karen Cheng

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

1H-Benzimidazole, 6-[3-(4-fluorophenyl)-1-[[2[tcimethylsilyl]ethoxylmethyl]-1H-pyrazol-4-yl]-1-(triphenylmethyl)- (9CI)
(CA INDEX NAME)

181866-95-5 CAPLUS
1H-Benzimidazole, 6-(5-(4-fluorophenyl)-1-[[2-(trimethylisilyl)ethoxy]methyl]-1H-pyrazol-4-yl]-1-(triphenylmethyl)- (9CI)
(CA INDEX NAME)

```
chain nodes :
 49 66 67 69 75 81
 ring nodes :
 1 2 3 4 5 6 7 8
                      9 10 11 12 13 14 15 16 17 18 19 20 21
                                                                      22
 24 25 26 27 28 29
                      30 31 32 33 34 35 36 37 38
                                                       39 40 50 51 52 53
                          61 62 63 70 .71 72 .76 77
                                                        78
                                                           79
54 55 56 57
               58 59
                       60
 chain bonds :
 21-49 50-69 51-81 52-66
                          53-67
                                71-75
 ring bonds :
 1-2 1-5 2-3 3-4 4-5 6-7 6-10
                                 7-8 8-9 9-10 11-12 11-15 12-13 13-14
 14-15 16-17 16-20 17-18
                          18-19 19-20 21-22
                                              21-25 22-23 23-24
                                                                 24-25
                                                                        26-27
 26-30 27-28
             28-29
                   29-30
                          31-32
                                 31-35
                                       32-33
                                              33-34
                                                     34~35
                                                           36-37
                                                                  36-40
                                                                        37-38
 38-39
             50-51
                    50-55
                          51-52
                                 52-53
                                       53-54
                                              54-55
                                                     54-70
                                                           55-72
                                                                  56-60
 56-76 57-58
             57-79
                    58-59
                          58-61
                                 59-60
                                       59-63
                                              61-62
                                                    62-63
                                                           70-71
                                                                  71-72
 77-78
      78-79
 exact/norm bonds :
 1-2 1-5 2-3 3-4 4-5 6-7 6-10
                                 7-8 8-9 9-10 11-12
                                                       11-15 12-13 13-14
 14-15 16-17 16-20 17-18
                          18-19
                                 19-20 21-22
                                              21-25
                                                    21-49
                                                           22-23
                                                                  23-24
                                 31-32
 26-27 26-30 27-28
                    28-29
                          29-30
                                       31-35
                                              32-33
                                                    33-34
                                                           34-35
                                                                  36-37
                                                                        36-40
                          51-81
 37-38 38-39
             39-40
                                 52-66 53-67
                                              54-70
                                                     55-72
                                                                        56-76
                    50-69
                                                           56-60
                                                                  56-57
 57-58
       57-79
             58-59
                    58-61
                          59-60
                                 59-63 61-62 62-63 70-71
                                                          71-72
                                                                  71-75
                                                                        76-77
 77-78
       78-79
 normalized bonds :
 50-51 50-55 51-52 52-53 53-54 54-55
 isolated ring systems :
 containing 50:
```

G1:H, CH3

G2:S,N

G3:X,H,CH3,N

=>

search for the rs

Uploading C:\Program Files\Stnexp\Queries\10522227c.str

```
chain nodes :
49 66 67 69
               75
                       96
                          97
                              98
                                  100
                                       101
                                            102
                  81
                                                 103
                                                      104
ring nodes :
1 2 3
       4
           5
              6 7
                   8
                       9
                         10
                             11
                                 12
                                     13
                                         14
                                             15
                                                 16
                                                     17
                                                        18
                                                            19
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                                                                        22
                                                                            23
24 25 26
              28
           27
                   29
                       30
                          31
                              32
                                  33
                                     34
                                         35 36
                                                 37
                                                     38
                                                         39 40
                                                                 50
                                                                     51
                                                                        52
       56
           57
               58
                   59
                       60
                          61
                              62
                                  63
                                      70
                                          71
                                              72
                                                  76
                                                     77
                                                         78
                                                             79
                                                                 83
       89
           90
               91
                   92
                       93
chain bonds :
5-96 10-97 12-98 16-100
                          21-49 25-101 29-102
                                                32-103
                                                        39-104
                                                                50-69 51-81
52-66 53-67 62-105 71-75
ring bonds :
1-2 1-5 2-3 3-4
                   4-5 6-7 6-10
                                 7-8 8-9 9-10 11-12
                                                        11-15 12-13 13-14
14-15 16-17
             16-20
                   17-18
                         18-19
                                       21-22
                                 19-20
                                               21-25
                                                     22-23
                                                            23-24
                                                                   24-25
                                                                          26-27
26-30
      27-28
             28-29
                    29-30
                          31-32
                                 31 - 35
                                        32-33
                                               33 - 34
                                                      34 - 35
                                                            36-37
                                                                   36-40
                                                                          37 - 38
38-39
      39-40
             50-51
                    50-55
                          51-52
                                 52-53
                                        53-54
                                               54-55
                                                      54 - 70
                                                            55-72
                                                                   56-60
                                                                          56-57
56-76
      57-58
             57-79
                    58-59
                          58-61
                                 59-60
                                        59-63
                                               61-62
                                                      62-63
                                                            70-71
                                                                   71-72
                                                                          76-77
                                        86-87
77-78
      78-79
             83-84
                   83-87 84-85
                                 85-86
                                               88-89
                                                      88-93
                                                            89-90
                                                                   90-91
92-93
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 5-96 6-7 6-10 7-8 8-9 9-10 10-97 11-12 11-15
12-13 12-98 13-14 14-15 16-17 16-20 16-100 17-18 18-19 19-20 21-22 21-25
21-49 22-23 23-24 24-25 25-101 26-27 26-30 27-28 28-29 29-30 29-102
31-32 31-35 32-33 32-103 33-34 34-35 36-37 36-40 37-38 38-39 39-40
39-104 50-69 51-81 52-66 53-67 54-70 55-72 56-60 56-57
                                                             56-76 57-58
K$@e$9ch$Ag61 59-60 59-63 61-62 62-63 62-105 70-71 71-72 71-75 76-77
77-78 78-79 83-84 83-87 84-85 85-86 86-87
```

normalized bonds : 50-51 50-55 51-52 52-53 53-54 54-55 88-89 88-93 89-90 90-91 91-92 92-93 isolated ring systems : containing 50: G1:H, CH3 G2:S,N G3:X,H,CH3,N G4:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8],[*9] G5:[*10],[*11] Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 49:CLASS 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:Atom 57:Atom 58:Atom 59:Atom 60:Atom 61:Atom 62:Atom 63:Atom 66:CLASS 67:CLASS 69:CLASS 70:CLASS 71:CLASS 72:CLASS 75:CLASS 76:Atom 77:Atom 78:Atom 79:Atom 81:CLASS 83:Atom 84:Atom 85:Atom 86:Atom 87:Atom 88:Atom 89:Atom 90:Atom 91:Atom 92:Atom 93:Atom 96:CLASS 97:CLASS 98:CLASS 100:CLASS 101:CLASS 102:CLASS 103:CLASS 104:CLASS 105:CLASS rsSTRUCTURE UPLOADED => d L8 HAS NO ANSWERS r_8 STR * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT * Structure attributes must be viewed using STN Express query preparation. => d his (FILE 'HOME' ENTERED AT 18:21:08 ON 08 FEB 2007) FILE 'REGISTRY' ENTERED AT 18:21:37 ON 08 FEB 2007 STRUCTURE UPLOADED L143 S L1 L_2 L3 898 S L1 FULL FILE 'CAPLUS' ENTERED AT 18:22:06 ON 08 FEB 2007 L443 S L3 FILE 'STNGUIDE' ENTERED AT 18:22:24 ON 08 FEB 2007 FILE 'REGISTRY' ENTERED AT 18:32:38 ON 08 FEB 2007 L5 STRUCTURE UPLOADED

=> d his

L1

(FILE 'HOME' ENTERED AT 18:21:08 ON 08 FEB 2007)

FILE 'REGISTRY' ENTERED AT 18:21:37 ON 08 FEB 2007

STRUCTURE UPLOADED

L2 43 S L1

L3 898 S L1 FULL

FILE 'CAPLUS' ENTERED AT 18:22:06 ON 08 FEB 2007

L443 S L3

FILE 'STNGUIDE' ENTERED AT 18:22:24 ON 08 FEB 2007

FILE 'REGISTRY' ENTERED AT 18:32:38 ON 08 FEB 2007

L5 STRUCTURE UPLOADED

596 S L5 FULL SUB=L3 L6

FILE 'CAPLUS' ENTERED AT 18:33:19 ON 08 FEB 2007

L7 7 S L6

- prior search - current search - current structure - cerults current - cerults search FILE 'REGISTRY' ENTERED AT 18:37:43 ON 08 FEB 2007

Гģ STRUCTURE DELOADED

596\s L8/FULL SUB=L3 L9 L10

1192 DUP REM L6 L9 (0 DUPLICATES REMOVED)

FILE 'CAPLUS' ENTERED AT 18:40:22 ON 08 FEB 2097

L11 7 S L9

L12 7 DUP REM L7 L11 (7 DUPLICATES REMOVED)

no amblicares

=> d ibib abs 112 tot